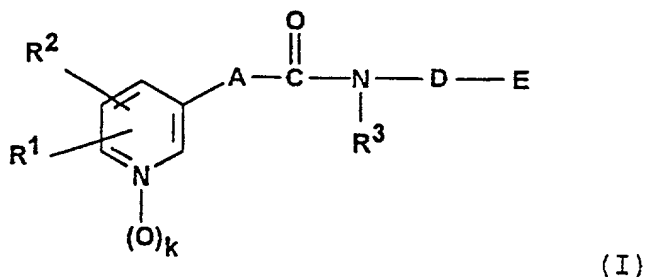


## CLAIMS

1. Imide-substituted pyridylalkane, alkene and alkine acid amides of formula (I)



wherein the substituents have the following meanings:

- $R^1$  is selected from  
hydrogen, halogen, cyano, alkyl, alkenyl, alkynyl, tri-fluoromethyl, cycloalkyl, hydroxyalkyl, hydroxy, alkoxy, cycloalkyloxy, aralkyloxy such as benzyloxy, alkanoyloxy, alkylthio, alkoxycarbonyl, aminocarbonyl, alkylamino-carbonyl, dialkylaminocarbonyl, carboxy, aryl such as phenyl, aryloxy such as phenoxy, arylthio such as phenylthio, heteroaryloxy such as pyridyloxy, heteroarylthio such as pyridylthio, and  $NR^4R^5$ , whereby
- $R^4$  and  $R^5$  are selected independently from each other from  
hydrogen, alkyl, alkenyl, alkynyl, aralkyl such as benzyl and aryl such as phenyl;
- $R^2$  is selected from  
hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxy, alkoxy and aralkyloxy such as benzyloxy;
- $R^3$  is selected from  
hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy and aryloxy such as benzyloxy;

k is 0 or 1,

A is selected from  
alkylene, optionally substituted one to three-fold by  
alkyl, hydroxy, alkoxy, fluorine, or aryl such as phenyl,  
alkylene, wherein a methylene unit is isosterically  
replaced by O, S,  $\text{NR}^6$ , CO, SO or  $\text{SO}_2$ , whereby, with the  
exception of CO, the isosteric substitution cannot be  
adjacent to the amine group and  $\text{R}^6$  is selected from  
hydrogen, alkyl, alkenyl, acyl or alkanesulfonyl;

1,2-cyclopropylene;

alkenylene, optionally substituted once or twice by  
alkyl, hydroxy, alkoxy, fluorine, cyano or aryl such as  
phenyl;

alkadienylene, optionally substituted once or twice by  
alkyl, fluorine, cyano or aryl such as phenyl;

hexatrienylene, optionally substituted by  $\text{C}_1$ - $\text{C}_3$ -alkyl,  
fluorine, cyano or phenyl; as well as

ethinylene;

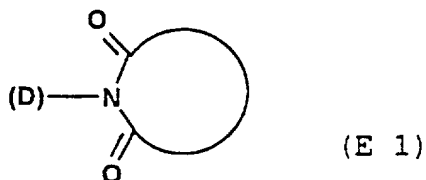
D is selected from  
alkylene, optionally substituted once or twice by alkyl,  
hydroxy, or alkoxy;

alkenylene, optionally substituted once or twice by  
alkyl, hydroxy, or alkoxy;

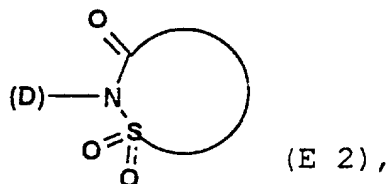
alkinylene, optionally substituted once or twice by  
alkyl, hydroxy, or alkoxy; as well as

alkylene, alkenylene or alkinylene, in which one to three  
methylene units is isosterically replaced by O, S,  $\text{NR}^7$ ,  
CO, SO or  $\text{SO}_2$ , wherein  $\text{R}^7$  is synonymous with  $\text{R}^6$ , but is  
selected independently thereof;

E is a cyclic imide of the general formula



or



bound over the imide nitrogen atom to D selected from saturated or unsaturated monocyclic imides with 5 to 7 ring atoms, whereby, aside from the essential imide nitrogen atom, one or two further hetero-atoms can be present selected from N and/or S and/or O in this imide ring;

saturated, unsaturated or aromatic anellated bi-, tri- or tetracyclic imides with 8 to 18 ring atoms of which, aside from the essential imide nitrogen atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;

saturated or unsaturated, bridged bi-, tri- tetra- or pentacyclic imides with 8 to 22 ring atoms of which, aside from the essential imide nitrogen atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;

saturated or unsaturated spirocyclic imides, optionally anellated once or twice and with a total of 9 to 23 ring atoms of which, aside from the essential imide nitrogen

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atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;

whereby these cyclic imides can be substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, alkyl, alkylidene, trifluoromethyl, cycloalkyl, cycloalkylidene, phenylalkyl, phenylalkylidene, diphenylalkyl, diphenylalkylidene, triphenylmethyl, aryl such as phenyl, hydroxy, hydroxyalkyl, alkoxy, alkoxy entirely or partially substituted by fluorine, aralkyloxy such as benzyloxy, aryloxy such as phenoxy, naphthyloxy, mercapto, alkylthio, arylthio such as phenylthio or naphthylthio, heteroarylthio such as pyridylthio, alkanesulfonyl, arylsulfonyl such as phenylsulfonyl or naphthylsulfonyl, heteroarylsulfonyl such as pyridylsulfonyl, sulfo, carboxy, carboxyalkyl, carboxyalkenyl, alkoxycarbonyl, aralkyloxycarbonyl such as benzyloxycarbonyl, nitro, amino, aminoalkyl, mono-alkylamino, di-(alkyl)amino, arylamino such as phenylamino, arylalkylamino such as phenylalkylamino, heteroarylamino such as pyridylamino,

saturated or unsaturated, four- to seven-membered heterocycles which can contain one or two hetero-atoms selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

monocyclic aromatic five- or six-membered heterocycles which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

anellated bicyclic, aromatic or partial hydrated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly or bound over a methylene or a methine group,

anellated bicyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 12 ring atoms, whereby one to three

ring atoms can be selected from N and/or S and/or O and are either bound directly or bound over a methylene or a methine group,

and whereby aryl and heteroaryl residues as substituents of the cyclic imides can be substituted themselves by one to three of the same or different groups selected from

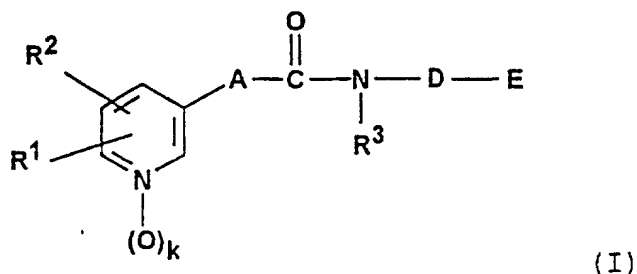
halogen, cyano, alkyl, trifluoromethyl, cycloalkyl, aralkyl such as benzyl, aryl such as phenyl, hydroxy, hydroxyalkyl, alkoxy, alkoxy entirely or partially substituted by fluorine, aralkyloxy such as benzyloxy, aryloxy such as phenoxy, mercapto, alkylthio, arylthio such as phenylthio, carboxy, carboxyalkyl, carboxyalkenyl, alkoxycarbonyl, aralkyloxycarbonyl such as benzyloxycarbonyl, nitro, amino, aminoalkyl, mono-alkylamino, di-(alkyl)amino and, for two adjacent residues, methylenedioxy;

their cis- and trans-isomers, E- and Z-isomers of the above defined compounds, especially in the case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers of the above defined compounds, as well as their racemic and/or non-racemic mixtures, as well as the pure endo- and/or exo-isomers of the above defined compounds in the case that the imide ring system is bicyclic, as well as their mixtures;

their tautomeric compounds in the optimal case that E contains a heterocyclic aromatic ring with simultaneous substitution by free hydroxy, mercapto or amino groups; and the

acid addition salts of the above defined compounds including their hydrates and solvates.

2. Imide-substituted pyridylalkane, pyridylalkene and pyridylalkine acid amides of formula (I)



wherein the substituents have the following meanings:

$R^1$  is selected from hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -alkynyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_8$ -cycloalkyloxy, benzyloxy,  $C_1$ - $C_7$ -alkanoyloxy,  $C_1$ - $C_6$ -alkylthio,  $C_2$ - $C_7$ -alkoxycarbonyl, aminocarbonyl,  $C_2$ - $C_7$ -alkylaminocarbonyl,  $C_3$ - $C_{13}$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and  $NR^4R^5$ , whereby

$R^4$  and  $R^5$  are selected independently from each other from hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkynyl, benzyl and phenyl;

$R^2$  is selected from hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

$R^3$  is selected from hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkynyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

$k$  is 0 or 1,

$A$  is selected from  $C_1$ - $C_6$ -alkylene, optionally substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy,  $C_1$ - $C_3$ -alkoxy, fluorine, or phenyl;

$C_2$ - $C_6$ -alkylene, in which a methylene unit is isosterically replaced by O, S,  $NR^6$ , CO, SO or  $SO_2$ ,

whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group and R<sup>6</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>1</sub>-C<sub>6</sub>-acyl or C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl;

1,2-cyclopropylene;

C<sub>2</sub>-C<sub>6</sub>-alkenylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl;

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted once to twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene, optionally substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl; as well as

ethinylene;

D is selected from  
C<sub>2</sub>-C<sub>10</sub>-alkylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

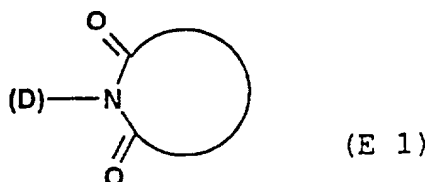
C<sub>4</sub>-C<sub>10</sub>-alkenylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkinylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy; as well as

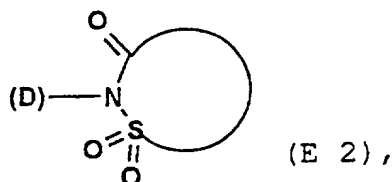
C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkinylene, in which one to three methylene units is isosterically replaced by O, S, NR<sup>7</sup>, CO, SO or SO<sub>2</sub>, whereby R<sup>7</sup> is synonymous with R<sup>6</sup>, but is selected independently thereof;

E is a cyclic imide of the general formula

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or



bound over the imide nitrogen atom to D selected from saturated or unsaturated monocyclic imides with 5 to 7 ring atoms of which, aside from the essential imide nitrogen atom, one or two further hetero-atoms can be present selected from N and/or S and/or O;

saturated, unsaturated or aromatic anellated, bi-, tri- or tetracyclic imides with 8 to 18 ring atoms of which, aside from the essential imide nitrogen atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;

saturated or unsaturated, bridged bi-, tri- tetra- or pentacyclic imides with 8 to 22 ring atoms of which, aside from the essential imide nitrogen atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;

saturated or unsaturated spirocyclic imides, optionally anellated once or twice and with a total of 9 to 23 ring atoms of which, aside from the essential imide nitrogen atom, one to three further hetero-atoms can be present selected from N and/or S and/or O;



whereby these cyclic imides can be substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylidene, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylidene, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkylidene, triphenylmethyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyloxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, naphthylthio, pyridylthio, C<sub>1</sub>-C<sub>6</sub>-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, phenylamino, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylamino, pyridylamino,

saturated or unsaturated, four- to seven-membered heterocycles which can contain one or two hetero-atoms selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

monocyclic aromatic five- or six-membered heterocycles which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

anellated bicyclic, aromatic or partial hydrated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly or bound over a methylene or a methine group,

anellated bicyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 12 ring atoms, whereby one to three ring atoms can be selected from N and/or S and/or O and are

either bound directly or bound over a methylene or a methine group,

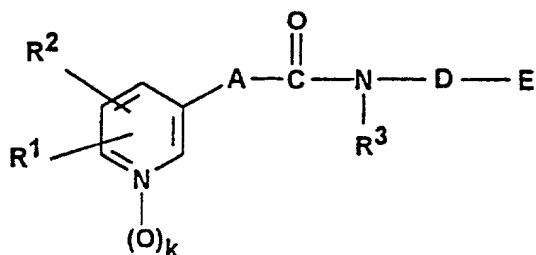
and whereby aryl and heteroaryl residues as substituents of the cyclic imides can be substituted themselves by one to three of the same or different groups selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino and, for two adjacent residues, methylenedioxy;

their cis- and trans-isomers, E- and Z-isomers of the above defined compounds, especially in the case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers of the above defined compounds, as well as their racemic and/or non-racemic mixtures, as well as the pure endo- and/or exo-isomers of the above defined compounds in the case that the imide ring system is bicyclic, as well as their mixtures;

their tautomeric compounds in the optimal case that E contains a heterocyclic aromatic ring with simultaneous substitution by free hydroxy, mercapto or amino groups; and the corresponding acid addition salts of the above defined compounds including their hydrates and solvates.

3. Compounds according to claim 1 or 2, characterized by the general formula (I)



(I)

wherein the substituents have the following meanings:

$\text{R}^1$  is selected from hydrogen, halogen, cyano,  $\text{C}_1\text{-C}_6$ -alkyl, trifluoromethyl, ethynyl, hydroxy,  $\text{C}_1\text{-C}_4$ -alkoxy, benzyloxy,  $\text{C}_1\text{-C}_4$ -alkylthio,  $\text{C}_2\text{-C}_5$ -alkoxycarbonyl, aminocarbonyl,  $\text{C}_3\text{-C}_9$ -dialkylaminocarbonyl, carboxy, phenoxy, phenylthio and pyridyloxy;

$\text{R}^2$  is selected from hydrogen, fluorine, chlorine, bromine,  $\text{C}_1\text{-C}_4$ -alkyl, trifluoromethyl, hydroxy,  $\text{C}_1\text{-C}_4$ -alkoxy;

$\text{R}^3$  is selected from hydrogen,  $\text{C}_1\text{-C}_3$ -alkyl, allyl, hydroxy,  $\text{C}_1\text{-C}_3$ -alkoxy and benzyloxy;

$k$  is 0 or 1,

$A$  is selected from  $\text{C}_1\text{-C}_6$ -alkylene, optionally substituted once or twice by  $\text{C}_1\text{-C}_3$ -alkyl, hydroxy, fluorine or phenyl;

$\text{C}_2\text{-C}_6$ -alkylene, wherein a methylene unit is isosterically replaced by O, S, NH,  $\text{N}(\text{CH}_3)$  or CO, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group; and

1,2-cyclopropylene;

$\text{C}_2\text{-C}_6$ -alkenylene, optionally substituted once or twice by  $\text{C}_1\text{-C}_3$ -alkyl, phenyl, hydroxy and/or fluorine;

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C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted once to twice by methyl or fluorine;

1,3,5-hexatrienylene, optionally substituted by methyl or fluorine; as well as

ethynylene

D is selected from  
C<sub>2</sub>-C<sub>8</sub>-alkylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkenylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkynylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy; as well as

C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene or C<sub>4</sub>-C<sub>8</sub>-alkynylene,  
wherein one to three methylene units are isosterically  
replaced by O, S, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>), CO or  
SO<sub>2</sub>;

E is selected from  
saturated or unsaturated monocyclic imides with 5 to 7  
ring atoms, for example, of pyrrol-2,5-dione, pyrrolidin-  
2,5-dione, imidazolidin-2,4-dione, oxazolidin-2,4-dione,  
thiazolidin-2,4-dione, imidazolidin-2,4,5-trione,  
piperidin-2,6-dione, 3H-pyridin-2,6-dione, piperazin-2,6-  
dione, morpholin-3,5-dione, azepin-2,7-dione, 3,6-  
dihydroazepin-2,7-dione, hexahydroazepin-2,7-dione,  
hexahydro-1,3-diazepin-2,4-dione, hexahydro-1,4-diazepin-  
2,7-dione, 3,7-dihydro-1,2,5-triazepin-4,6-dione,  
hexahydro-1,2,5-triazepin-4,6-dione,

saturated, unsaturated or aromatic anellated bicyclic  
imides, for example, pyrrolo[3,4-c]pyrrol-1,3-dione,  
dihydropyrrolo[3,4-c]pyrrol-1,3-dione, tetra-

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hydropyrrolo[3,4-c]pyrrol-1,3-dione,  
 tetrahydropyrrolo[1,2-c]imidazol-1,3-dione, thieno[2,3-c]pyrrol-4,6-dione, thieno[3,4-c]pyrrol-4,6-dione,  
 furo[3,4-c]pyrrol-4,6-dione, pyrrolo[3,4-d]thiazol-4,6-dione, isoindol-1,3-dione, tetrahydroisoindol-1,3-dione,  
 hexahydroisoindol-1,3-dione, pyrrolo[3,4-b]pyridin-5,7-dione, pyrrolo[3,4-c]pyridin-1,3-dione, pyrrolo[3,4-c]pyridazin-5,7-dione, 1,1-dioxo-benzo[d]isothiazol-3-one,  
 dihydropurin-2,6-dione, 4H-isoquinolin-1,3-dione, 5H-[1,7]naphthyridin-6,8-dione, 4H-[2,6]naphthyridin-1,3-dione, 1H-quinazolin-2,4-dione, 1H-pyrido[2,3-d]pyrimidin-2,4-dione, 1H-pyrido[3,4-d]pyrimidin-2,4-dione,

unsaturated or aromatic anellated tricyclic imides, such as, for example, benzo[4,5]thieno[2,3-c]pyrrol-1,3-dione, thienoisindol-1,3-dione, benzoisindol-1,3-dione, dihydrobenzoisindol-1,3-dione, tetrahydrobenzoisindol-1,3-dione, pyrrolo[3,4-g]quinolin-6,8-dione, tetrahydropyrrolo[3,4-g]quinazolin-6,8-dione, 1,2,4-triazolo[1,2-a]cinnolin-7,9-dione, dihydrocarbolin-1,3-dione, 4H-benzo[h]isoquinolin-1,3-dione, benzo[de]isoquinolin-1,3-dione, dibenzo[c,e]azepin-5,7-dione, 4H-naphtho[1,8-c,d]azepin-1,3-dione,

unsaturated or aromatic anellated tetracyclic imides, such as, for example, dihydro-4H-acenaphtho-[1,8-a,c]pyrrol-1,3,10-trione, 6H-pyrrolo[3,4-c]carbazol-1,3-dione, dibenzoisindol-1,3-dione, naphthoisindol-1,3-dione, tetrahydronaphthoisindol-1,3-dione, dibenzo[de,h]-isoquinolin-1,3-dione, dihydro-12H-2-azapleiaden-1,3-dione, 1H-anthra[1,9-c,d]azepin-2,4-dione, 4H-anthra[9,1-c,d]azepin-1,3-dione,

saturated or unsaturated, bridged bi-, tri-, tetra- or pentacyclic imides such as, for example, 3-azabicyclo[3.2.1]octan-2,4-dione, 3-azabicyclo[3.2.1]oct-6-en-2,4-dione, 3-azabicyclo[3.2.2]nonan-2,4-dione, 3-azabicyclo[3.2.2]non-6-en-2,4-dione, 4-aza-tricyclo[5.2.1.0

2,6]dec-8-en-3,5-dione, 10-oxa-4-aza-tricyclo[5.2.1.0  
2,6]dec-8-en-3,5-dione, 4-aza-tricyclo[5.2.2.0  
2,6]undecan-3,5-dione, 4-aza-tricyclo[5.2.2.0 2,6]undec-  
8-en-3,5-dione, 4-aza-benzo[8,9]tricyclo[5.2.2.0  
2,6]undecan-3,5-dione, 4-aza-  
dibenzo[8,9:10,11]tricyclo[5.2.2.0 2,6]undecan-3,5-dione,  
5-aza-dibenzo[10,11:12,13]tricyclo[7.2.2.0 2,8]tri-decan-  
3,5-dione, and

saturated or unsaturated spirocyclic imides which are  
optionally benzoanellated once or twice such as 1,3-  
diazaspiro[4.4]nonan-2,4-dione, 1-thia-3-  
azaspiro[4.4]nonan-2,4-dione, 1-oxa-3-azaspiro[4.4]nonan-  
2,4-dione, 1,3,7-tri-azaspiro[4.4]nonan-2,4-dione, 1-oxa-  
3,7-diazaspiro[4.4]-nonan-2,4-dione, 2,8-  
diazaspiro[4.5]decan-1,3-dione, 1,3,8-  
triazaspiro[4.5]decan-2,4-dione, 1-oxa-3,8-  
diazaspiro[4.5]-decan-2,4-dione, 7-azaspiro[4.5]decan-  
6,8-dione, spiro[dioxoimidazolidin-indanes],  
spiro[oxoindolin-dioxoimidazolidines],  
spiro[dioxoimidazolidin-tetrahydronaphthalines],  
spiro[dioxoimidazolidin-piperidines], and spiro[2,6-  
dioxopiperidin-tetrahydronaphthalines],

whereby these cyclic imides can be substituted by one to five  
of the same or different groups selected independently from  
each other from

halogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylidene,  
trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, phenyl-  
C<sub>1</sub>-C<sub>3</sub>-alkylidene, diphenyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, diphenyl-C<sub>1</sub>-C<sub>3</sub>-  
alkylidene, triphenylmethyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-  
hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy entirely or partially  
substituted by fluorine, benzyloxy, phenoxy, naphthyloxy,  
mercapto, C<sub>1</sub>-C<sub>4</sub>-alkylthio, phenylthio, pyridylthio, C<sub>1</sub>-C<sub>4</sub>-  
alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl,  
pyridylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-

carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>4</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, phenylamino, phenyl-C<sub>1</sub>-C<sub>3</sub>-alkylamino, pyridylamino,

saturated or unsaturated, four- to seven-membered heterocycles which can contain one or two hetero-atoms selected from N and/or S and/or O,

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

anellated bicyclic, aromatic or partially hydrated carbocyclic ring systems with 8 to 11 ring atoms which are either bound directly or bound over a methylene group or a methine group,

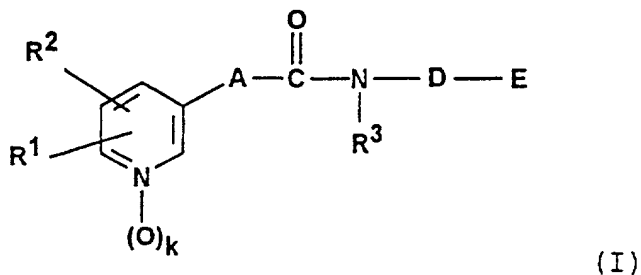
anellated bicyclic aromatic or partially hydrated heterocyclic rings systems with 8 to 11 rings atoms, whereby one to three ring atoms can be selected from N and/or S and/or O and are either bound directly or bound over a methylene group or a methine group,

and whereby aryl and heteroaryl residues as substituents of the cyclic imides can be substituted themselves by one to three of the same or different groups selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino and, for two adjacent residues, methylenedioxy.

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4. Compounds according to one of claims 1 to 3, characterized by the general formula (I)



wherein the substituents have the following meanings:

R<sup>1</sup> is selected from  
hydrogen, fluorine, chlorine, bromine, methyl, ethyl,  
trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, phenoxy,  
methylthio, ethylthio, methoxycarbonyl, aminocarbonyl and  
carboxy;

R<sup>2</sup> is selected from  
hydrogen, chlorine, methyl, hydroxy and methoxy;

$R^3$  is hydrogen;

k is 0,

A is selected from  
C<sub>2</sub>-C<sub>6</sub>-alkylene, optionally substituted once or twice by  
hydroxy or fluorine;

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is isosterically replaced by O, S, or CO, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group;

C<sub>2</sub>-C<sub>6</sub>-alkenylene, optionally substituted by methyl and/or fluorine;

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted by methyl;



ethynylene;

D is selected from

C<sub>2</sub>-C<sub>8</sub>-alkylene, optionally substituted by methyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkenylene, optionally substituted by methyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkynylene, optionally substituted by hydroxy;

C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene or C<sub>4</sub>-C<sub>8</sub>-alkynylene, in which a methylene unit is isosterically replaced by O, NH, N(CH<sub>3</sub>), or CO, or an ethylene group is isosterically replaced by a group NH-CO and/or CO-NH, or a propylene group is isosterically replaced by a group NH-CO-NH or NH-CO-O and/or O-CO-NH;

E is selected from

monocyclic imides such as succinimide, maleinimide, glutarimide, adipinimide, imidazolidindione, imidazolidintrione, thiazolidindione, oxazolidindione, piperazin-2,6-dione, morpholin-3,5-dione, 3,6-dihydroazepin-2,7-dione, hexahydro-1,3-diazepin-2,4-dione, hexahydro-1,4-diazepin-2,7-dione, hexahydro-1,2,5-triazepin-4,6-dione,

anellated bicyclic imides such as phthalimide, tetrahydrophthalimide, homophthalimide, pyrrol-3,4-dicarboximide, 2,5-dihydropyrrol-3,4-dicarboximide, thiophen-2,3-dicarboximide, thiophen-3,4-dicarboximide, pyridin-2,3-dicarboximide, pyridin-3,4-dicarboximide, pyridazin-3,4-dicarboximide, 1,1-dioxo-benzo[d]-isothiazol-3-one, isatoic acid imide, 4H-2,6-naphthyridin-1,3-dione, 1H-pyrido[2,3-d]pyrimidin-2,4-dione,

anellated tricyclic imides such as naphthalin-1,2-dicarboximide, 1,2,3,4-tetrahydronaphthalin-1,2-dicarboximide, naphthalin-2,3-dicarboximide, 1,8-naphthalimide, diphenic acid imide, benzothiophen-2,3-dicarboximide, benzothiophen-4,5-dicarboximide, quinolin-6,7-dicarboximide, quinazolin-6,7-dicarboximide,

anellated tetracyclic imides such as 7,8-dihydroacenaphthen-2(6h)-on-1,8a-dicarboximide, anthracen-2,3-dicarboximide, anthracen-1,9-dicarboximide, phenanthren-9,10-dicarboximide, 12a,12b-dihydro-12h-2-azapleiaden-1,3-dione, 1H-anthraceno[1,9-c,d]azepin-2,4-dione, carbazol-5,6-dicarboximide,

bridged polycyclic imides such as cyclopentan-1,3-dicarboximide, cyclohex-2-en-1,4-dicarboximide, bicyclo[2.2.1]-hept-5-en-2,3-dicarboximide, 7-oxa-bicyclo[2.2.1]-hept-5-en-2,3-dicarboximide, bicyclo[2.2.2]-oct-5-en-2,3-dicarboximide, benzobicyclo[2.2.2]-octan-2,3-dicarboximide, dibenzobicyclo[2.2.2]-octan-2,3-dicarboximide, dibenzobicyclo[2.2.2]-octan-2,3-diacetic acid imide and

spirocyclic imides such as 1,3-diazaspiro[4.4]nonan-2,4-dione, 1-thia-3-azaspiro[4.4]nonan-2,4-dione, 1-oxa-3,7-diazaspiro[4.4]nonan-2,4-dione, 1-oxa-3,8-diazaspiro[4.5]decan-2,4-dione, spiro[dioxoimidazolidin-indane], spiro[dioxoimidazolidin-piperidine], spiro[dioxoimidazolidin-oxoindoline] spiro[dioxoimidazolidin-tetrahydronaphthaline], and spiro[2,6-dioxopiperidin-tetrahydronaphthaline],

whereby these cyclic imides can be substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-

alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, phenylthio, pyridylthio, C<sub>1</sub>-C<sub>4</sub>-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>4</sub>-aminoalkyl, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, phenylamino, pyridylamino;

benzyl, benzylidene, phenylethyl, phenylethylidene, phenylpropyl, diphenylmethyl, diphenylmethylenes, diphenylethyl, triphenylmethyl;

phenyl, indanyl, indenyl, indenylmethyl, naphthyl, naphthylmethyl, tetrahydronaphthyl, benzocycloheptenyl, tetrahydrobenzocycloheptenyl;

pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, hexahydroazepinyl, hexahydrodiazepinyl;

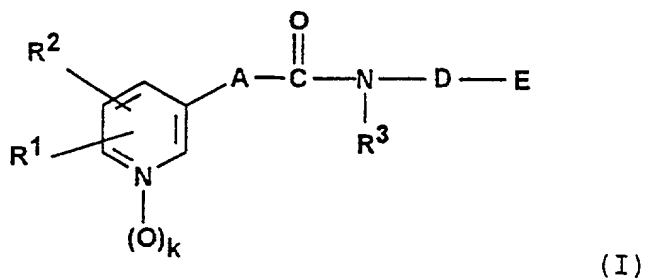
furyl, furylmethyl, thienyl, thienylmethyl, oxazolyl, isoxazolyl, thiazolyl, thiazolylmethyl, imidazolyl, oxadiazolyl, pyridyl, pyridylmethyl, pyrazinyl, pyrimidinyl;

benzofuryl, benzofurylmethyl, benzothienyl, benzothienylmethyl, indolyl, indolylmethyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzooxazolyl, oxobenzooxazolyl, benzothiazolyl, benzothiazolylmethyl, oxobenzothiazolyl, benzoimidazolyl, benzoimidazolylmethyl, oxobenzimidazolyl, indazolyl, oxoindazolyl, benzotriazolyl, oxazolopyridyl, oxazolopyridylmethyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, chromanyl, chromanonyl, oxazolopyridyl, oxazolopyridylmethyl, isoquinolinyl, oxodihydroquinolinyl, tetrahydroquinolinyl, oxotetrahydroquinolinyl, benzodioxanyl, quinazolinyl, benzoazepinyl, tetrahydrobenzoazepinyl, benzodiazepinyl, tetrahydrobenzodiazepinyl, benzooxazepinyl, benzothiazepinyl;

and whereby aryl and heteroaryl residues as substituents of the cyclic imides can be substituted themselves by one to three of the same or different groups selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino and, for two adjacent residues, as well as methylenedioxy.

5. Compounds according to one of claims 1 to 4, characterized by the general formula (I)



wherein the substituents have the following meanings:

- R<sup>1</sup> is selected from  
hydrogen, fluorine, methyl, trifluoromethyl, ethylthio;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is hydrogen;
- k is 0,
- A is selected from  
ethylene or butylene, optionally substituted by hydroxy  
or one or two fluorine atoms, or

OCH<sub>2</sub>, SCH<sub>2</sub>,  
ethenylene or 1,3-butadienylene;

D is selected from  
C<sub>4</sub>-C<sub>6</sub>-alkylene, optionally substituted by hydroxy;

C<sub>4</sub>-C<sub>6</sub>-alkenylene;

C<sub>4</sub>-C<sub>6</sub>-alkynylene; or

C<sub>4</sub>-C<sub>6</sub>-alkylene, C<sub>4</sub>-C<sub>6</sub>-alkenylene or C<sub>4</sub>-C<sub>6</sub>-alkynylene,  
wherein one or two methylene units is isosterically  
replaced by O, NH or CO;

E is selected from  
monocyclic imides such as succinimide, maleinimide,  
glutarimide, imidazolidindione, imidazolidintrione,  
thiazolidindione, oxazolidindione, piperazin-2,6-dione,  
hexahydrodiazepin-2,7-dione,

anellated bicyclic imides such as phthalimide, homo-  
phthalimide, pyridin-2,3-dicarboximide, pyridin-3,4-  
dicarboximide, isatoic acid imide,

anellated tricyclic imides such as naphthalin-1,2-  
dicarboximide, naphthalin-2,3-dicarboximide, 1,8-  
naphthalimide, diphenic acid imide,

anellated tetracyclic imides such as 7,8-dihydroace-  
naphthen-2(6H)-on-1,8a-dicarboximide, anthracen-2,3-  
dicarboximide, anthracen-1,9-dicarboximide, phenanthren-  
9,10-dicarboximide,

bridged polycyclic imides such as bicyclo[2.2.1]-hept-5-  
en-2,3-dicarboximide, 7-oxa-bicyclo[2.2.1]-hept-5-en-2,3-  
dicarboximide, benzobicyclo[2.2.2]-octan-2,3-  
dicarboximide, dibenzobicyclo[2.2.2]-octan-2,3-  
dicarboximide, and

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spirocyclic imides such as spiro[dioxoimidazolidin-indane], spiro[dioxoimidazolidin-piperidine], spiro[dioxoimidazolidin-oxoindoline] and spiro[dioxoimidazolidin-tetrahydronaphthaline],

whereby these cyclic imides can be substituted by one to four of the same or different groups selected independently from each other from

halogen, C<sub>1</sub>-C<sub>4</sub>-Alkyl, trifluoromethyl, hydroxy, hydroxymethyl, methoxy, ethoxy, tert-butoxy, trifluoromethoxy, benzyloxy, phenoxy, phenylthio, pyridylthio, phenylsulfonyl, sulfo, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, aminomethyl, dimethylamino, diethylamino, phenylamino, pyridylamino; benzyl, benzylidene, phenylethyl, naphthylmethyl, diphenylmethyl, diphenylmethylen, triphenylmethyl, phenyl, naphthyl;

pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, hexahydroazepinyl, hexahydrodiazepinyl; furyl, furylmethyl, thienyl, thienylmethyl, thiazolyl, thiazolylmethyl, pyridyl, pyridylmethyl;

benzofuryl, benzothienyl, indolyl, indolylmethyl, oxodihydro-indolyl, benzoimidazolyl, benzoimidazolylmethyl, oxodihydrobenzoimidazolyl, benzooxazolyl, oxodihydrobenzooxazolyl, benzothiazolyl, oxodihydrobenzothiazolyl, quinolinyl, quinolinylmethyl, oxodihydroquinolinyl, isoquinolinyl, oxodihydroisoquinolinyl,

and whereby aryl and heteroaryl residues as substituents of the cyclic imides can be substituted themselves by one to three of the same or different groups selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-Alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl, phenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by

fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, phenylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C<sub>1</sub>-C<sub>6</sub>-aminoalkyl, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino and, for two adjacent residues, methylenedioxy.

6. Compounds according to one 1 to 5, characterized in that they are present in the form of the following compounds:

N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(2,6-dioxo-4-phenyl-piperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(3-benzyl-2,4,5-trioxo-imidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydro-acenaphtho[1,8a-c]pyrrol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(2,5-dioxo-4,4-diphenyl-imidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(2,5-dioxo-3-phenyl-2,5-dihydro-pyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-propyl]-3-pyridin-3-yl-acrylamide,

N-[4-(3-pyridin-3-yl-acroylamino)-butyl]-2,3:5,6-dibenzobicyclo[2.2.2]octan-7,8-dicarboximide,

N-[4-(5-benzyliden-2,4-dioxo-thiazolidin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[4-(4-benzyl-2,6-dioxo-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide,

N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide,

N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-butyl]-3-pyridin-3-yl-propionamide,

N-[4-(1,3-dioxo-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide,

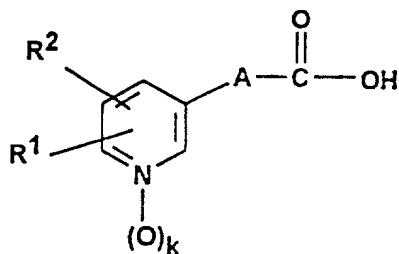
N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-(1-oxidopyridin-3-yl)-acrylamide,

N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-hexyl]-3-pyridin-3-yl-acrylamide,

N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-ethyl]-3-pyridin-3-yl-acrylamide as well as

N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide.

7. Method for the production of compounds according to one of claims 1 to 6, characterized in that compounds of formula (I) are synthesized according to method (A) in such a manner that carboxylic acids of formula (II)



(II)

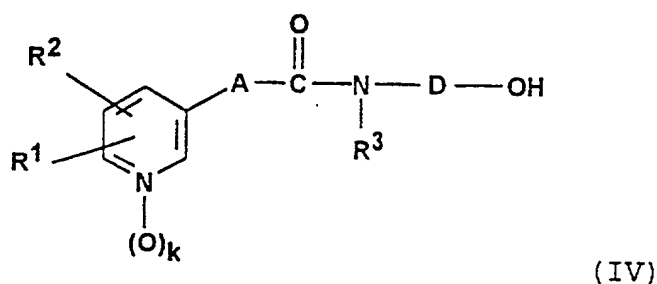


wherein  $R^1$ ,  $R^2$ , A and k have the meanings given in claims 1 to 5 or their reactive derivatives, especially in form of their activated esters, anhydrides, acid halides (preferably acid chlorides) or simple lower alkyl esters, are reacted with compounds of general formula (III)

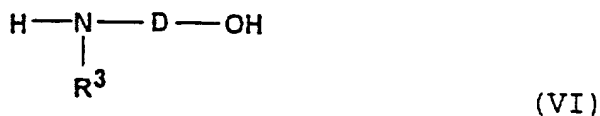


wherein D, E, and  $R^3$  have the meanings given in the above claims, in form of their free bases or acid addition salts, in a suitable, preferably inert solvent, or a mixture of one or more different solvents, at a temperature of  $-40^\circ\text{C}$  and  $180^\circ\text{C}$ , preferably between  $-10^\circ\text{C}$  and  $130^\circ\text{C}$ , especially at the boiling point of the solvent used, optionally in the presence of condensation agents and/or presence of an auxiliary base, or

according to the variant pursuant to method (B), compounds of formula (I) are produced in that starting compounds of general formula (IV)



wherein  $R^1$ ,  $R^2$ ,  $R^3$ , A, D and k have the meaning given in the above claims which were obtained by reacting carboxylic acids of formula (II) with amino alcohols of formula (VI),



wherein  $R^3$  and D have the meaning given in the above claims under conditions as they are described for method (A), are reacted with imides of the general formula (V)



as starting compounds, wherein E is defined in the above claims,

under the conditions of the Mitsunobu-reaction in which both starting compounds (IV) and (V), are combined by means of an organophosphor<sup>III</sup> compound and an aliphatic azo compound in a redox condensation, preferably in one or more aprotic solvents, especially tetrahydrofuran, as well as under inert gas with formal emergence of water whereby depending on the reactivity of the components, the reaction temperature varies in the range of  $-20^{\circ}\text{C}$  to  $120^{\circ}\text{C}$ , preferably between  $-10^{\circ}\text{C}$  and  $80^{\circ}\text{C}$ , particularly preferably between  $0^{\circ}\text{C}$  and  $30^{\circ}\text{C}$ .

8. Compound or compound mixture according to one of claims 1 to 6 for use in a diagnostic or therapeutic method for treatment of the human or animal body or in a corresponding diagnosis method.

9. Compound or compound mixture according to claim 8 for use in a therapeutic or diagnostic method, characterized in that the therapeutic use is in connection with cancerostatic, anti-proliferative, cytostatic, abnormal cell growth-inhibiting or immunosuppressive treatment and/or prevention of the formation of metastases, optionally in connection with suitable pharmaceutically acceptable adjuvants and carriers and/or one or more further active ingredients.

10. Use of one or more compounds according to one of claims 1 to 6 for the production of a medicament for the treatment of the human or animal body in the medical indications named above in claim 9.

11. Medicament with an amount of one or more active ingredients according to claim 1 to 6 optionally in connection with a pharmaceutically acceptable carrier, next to toxicologically safe adjuvants, optionally in combination with other active ingredients.
12. A method for the production of a medicament according to claim 11, characterized in that one or more compounds according to one or more of claims 1 to 6 are processed to finished medical forms with suitable pharmacologically acceptable carriers and adjuvants.
13. Medicament according to claim 11, characterized in that it is present in a solid, peroral administrable form as a tablet, capsule, coated tablet, optionally as sustained action and/or gastric fluid-resistant preparation or as a liquid, peroral administrable solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action form.
14. Medicament according to claim 11, characterized in that it is present in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form and/or as a parenteral depot medicinal form or implant or is used in the form of a concentrate, powder or lyophilisate and the parenteral dilution agent is optionally manufactured in the packaging separately therefrom, such that the mixing of the compounds contained therein with a common parenterally applicable dilution agent is possible immediately before use.
15. Medicament according to claim 11, characterized in that it is present in the form of an inhalation therapeutic agent, for example, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants.

16. Medicament according to claim 11, characterized in that it is present in the form of a transdermal therapeutic system for systemic treatment.

17. Medicament according to claim 11, characterized in that it is present in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment.

18. Medicament according to claim 11, characterized in that it is present in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution.

19. Medicament according to claim 15 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

20. Medicament according to claim 11, characterized in that it is present in the form of a rectal, genital, or transurethral administrable emulsions, a solution, a liposomal solution, an implant, suppository or a capsule.

21. Medicament according to claim 11, characterized in that it is present in the form of a composition capable of being applied nasally, otologically or ophthalmologically.

22. Medicament according to one of the claims 11 or 13, characterized in that it is present in the form of a buccally applicable form.

23. Medicament according to one of the claims 11, 13 to 15, 17 and 19 characterized in that a dosage unit for administration contains 0.001 to 1000, 2000, 3000, 4000 or 5000 mg, preferably 0.01 - 100 mg, in a preferred manner 1 - 10 mg, especially 1, 2, 5, 10, 20, 25, 30, 50, 75, 100, 200,

300, 400, 500, 600, or 800 mg single dose active ingredient according to the claims 1 to 6.

24. Medicament according to claim 15, characterized in that the pharmaceutically acceptable carrier and/or diluent is a propellant aerosol.

25. Medicament according to claim 15 or 24, characterized in that the propellant aerosol is tetrafluoroethane and/or heptafluoropropane and/or propane, butane, or dimethyl ether or mixtures thereof.

26. Medicament according to one of the claims 15, 24 or 25, characterized in that the propellant aerosol contains surface active adjuvants.

27. Medicament according to one of the claims 11 or 15, characterized in that it contains glucose and/or lactose as a dry powder dosage formulation.

28. Substance or substance mixture according to one of the claims 8 or 9, characterized in that the therapeutic use occurs with a further cytostatic agent or immunosuppressive agent.

29. Use of one or more compounds according to one of the claims 1 to 6 or 8 to 9 for treatment of the human or animal body in the medical indications named in claim 9 as well as a diagnostic agent.

30. Medicament according to one of the claims 11 and 13 to 27, characterized in that it is present in combination with a further cytostatic agent or immunosuppressive agent, optionally in the form of separate dosage units in the pharmaceutical package.

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